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(21) International Application Number: PCT/SE99/00276 (22) International Filing Date: 26 February 1999 (26.02.99) (30) Priority Data: 9800836-0 13 March 1998 (13.03.98) SE (71) Applicant (for all designated States except US): ASTRA AB [SE/SE]; S-151 85 Södertälje (SE). (72) Inventors; and (75) Inventors/Applicants (for US only): KARABELAS, Kostas [SE/SE]; Astra Draco AB, P.O. Box 34, S-221 00 Lund (SE). LÖNN, Hans [SE/SE]; Astra Draco AB, P.O. Box 34, S-221 00 Lund (SE). SJÖ, Peter [SE/SE]; Astra Draco AB, P.O. Box 34, S-221 00 Lund (SE). (74) Agent: ASTRA AKTIEBOLAG; Intellectual Property, Patents, S-151 85 Södertälje (SE).			(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>
(54) Title: NEW COMPOUNDS			
(57) Abstract The present invention relates to novel quinoxalinones which are inhibitors of protein kinase C. The invention further relates to formulations comprising said inhibitors of protein kinase C, use thereof in medical therapy and in the manufacture of a medicament for the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders.			

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NEW COMPOUNDS

FIELD OF THE INVENTION

5 The present invention relates to novel quinoxalinones which are inhibitors of protein kinase C. The invention further relates to formulations comprising said inhibitors of protein kinase C, use thereof in medical therapy and in the manufacture of a medicament for the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders.

BACKGROUND OF THE INVENTION

Protein kinase C (PKC) is a family of phospholipid-dependent serine/threonine-specific protein kinases which play an important role in cellular growth control, regulation and
15 differentiation.

Since the activation of PKC has been implicated in several human disease processes, including various forms of cancer, different forms of inflammatory and/or immunological disorders as well as some neurological disorders, inhibition of PKC could be of therapeutic
20 value in treating these conditions.

Several classes of compounds have been identified as PKC inhibitors, e.g. isoquinoline sulphonamides, sphingosine and related sphingolipids, indolocarbazoles and bisindolylmaleimides.

25 Although PKC inhibitors are described in the prior art, there is a need for specific anti-inflammatory and immunosuppressive compounds which are suitable for oral administration, and for inhalation.

SUMMARY OF THE INVENTION

The present invention provides novel quinoxalinones which are PKC inhibitors.

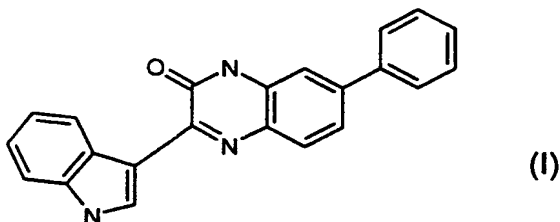
- 5 The present invention further provides novel quinoxalinones for use in medical therapy, and more particularly in the treatment of inflammatory, immunological, broncho-pulmonary, cardiovascular, oncological or CNS-degenerative disorders.

- 10 The present invention also provides use of the compounds of the present invention in the manufacture of a medicament for the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders.

- Also provided by the present invention are pharmaceutical compositions comprising a compound according to the present invention, as active ingredient, together with a
15 pharmaceutically acceptable adjuvant, diluent or carrier.

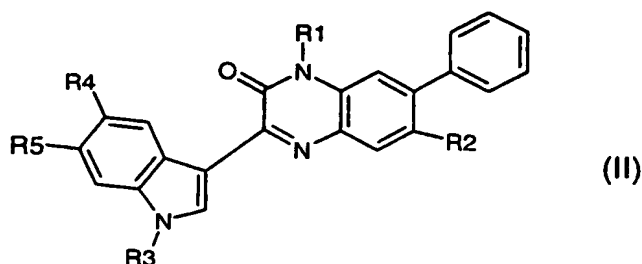
DETAILED DESCRIPTION OF THE INVENTION

- The present invention provides optionally substituted and/or annulated compounds of
20 formula (I):



and salts thereof.

25 Specifically, the present invention provides compounds of formula (II):



wherein:

5

R1 is H, 2-amino-1-methyl-ethyl, 2-methylamino-ethyl, 2-amino-4-methyl-pentyl, piperidin-3-ylmethyl, piperidin-4-yl, 3-aminopropyl, 2-(2-amino-ethoxy)-ethyl or 5-amino-pentyl

10 R2 is H, halogen, or carboxyC₁₋₆alkyl

R3 is C₁₋₆ alkyl, N,N-diethylacetamid-2-yl, 4-cyanobenzyl, tetrahydro-furan-2-ylmethyl, 3-amino-propyl or 3-amino-butyl

15 R4 and R5 are each independently H, halogen, benzyloxy or carboxyC₁₋₆alkyl

and salts thereof.

Preferred compounds are optionally substituted and/or annulated compounds comprising

20 i) 3-[1-(3-Amino-propyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one or

ii) 3-[1-(4-Amino-butyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one

and salts thereof.

More specifically, the present invention provides the compounds described in the

25 Examples 1 to 155 hereto and salts thereof.

The most preferred compounds of the present invention are as follows:

1-(3-Amino-propyl)-3-(3-oxo-6-phenyl-3,4-dihydro-quinoxalin-2-yl)-1H-indole-5-carboxylic acid methyl ester acetic acid salt,

3-[1-(3-Amino-propyl)-6-benzyloxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[1-(3-Amino-propyl)-5-benzyloxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[1-(3-Amino-propyl)-5-bromo-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[1-(4-Amino-butyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[1-(3-Amino-propyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

and the corresponding free amines thereof and other pharmaceutically acceptable salts thereof.

Salts of the compounds according to the invention are preferably pharmaceutically acceptable salts. Other, non-pharmaceutically acceptable salts may be useful as intermediates e.g. in the preparation of pharmaceutically acceptable salts or other compound of the present invention.

Included within the scope of the present invention are all enol tautomers of compounds of the present invention as well as stereoisomers, pure and mixed racemates, and mixtures thereof.

Compounds of the present invention and pharmaceutically acceptable salts thereof, are useful because they demonstrate pharmacological activity. In particular they demonstrate activity as kinase inhibitors, especially PKC inhibitors, e.g. as is shown by their activity in the *in vitro* assays described in Granet, R.A. et al, *Analyt. Biochem.* 1987; 163, 458-463; Olsson, H. et al, *Cell Signal* 1989, 1, 405-410; Chakravarthy, B.R. et al, *Analyt. Biochem.* 1991, 196, 144-150 and Bergstrand, H et al, *J. Pharm. Exp. Ther.* 1992; 263(3), 1334-1346.

In appropriate cellular systems, compounds of the present invention and pharmaceutical acceptable salts thereof, can also reduce the generation of inflammatory mediators. For example, the compounds can inhibit oxygen radical generation and generation of pro-inflammatory cytokines in monocytes. The compounds are especially useful as inhibitors of one or more cytokines selected from IL-1 β , TNF- α , GM-CSF or IL-8.

The compounds of the invention are indicated for use in medical therapy. More particularly, the compounds of the invention are indicated for use in the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders. Preferably for oral or topical treatment of inflammatory and/or immunological disorders, such as the oral or topical treatment of airway diseases involving inflammatory conditions, e.g. asthma, bronchitis or atopic diseases, e.g. rhinitis or atopic dermatitis; inflammatory bowel diseases, e.g. Crohn's disease or colitis; autoimmune diseases e.g. multiple sclerosis, diabetes, atherosclerosis, psoriasis, systemic lupus erythematosus or rheumatoid arthritis; malignant diseases, e.g. skin or lung cancer; HIV infections or AIDS; or for inhibiting rejection of organs/transplants.

The compounds of the invention are also indicated for use in the manufacture of a medicament for the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders.

The present invention is also directed to a method for the treatment of an inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative

disorder, wherein a therapeutically effective amount of a compound of the invention is administered to a mammal in the need of such treatment.

The dose of the compound to be administered will depend upon the relevant indication, the age, weight and sex of the patient and may be determined by a physician. The dosage will preferably be in the range of from 0.1 mg/kg to 100 mg/kg.

The compounds may be administered topically, e.g. to the lung and/or the airways, in the form of solutions, suspensions, aerosols or dry powder formulations, e.g. formulations in the inhaler device known as the Turbuhaler[®] (trademark of Astra AB of Sweden), or systemically, e.g. by oral administration in the form of tablets, pills, capsules, syrups, powders or granules, or by parenteral administration, e.g. in the form of sterile parenteral solutions or suspensions, or by rectal administration, e.g. in the form of suppositories.

Compounds of the invention may be administered on their own or as a pharmaceutical composition comprising a compound of the invention in combination with a pharmaceutically acceptable diluent, adjuvant or carrier. Particularly preferred are compositions not containing material capable of causing an adverse, e.g. an allergic, reaction.

Dry powder formulations and pressurized HFA aerosols of the compounds of the invention may be administered by oral or nasal inhalation. For inhalation the compound is desirably finely divided. The finely divided compound preferably has a mass median diameter of less than 10 μm , and may be suspended in a propellant mixture with the assistance of a dispersant, such as a C₈-C₂₀ fatty acid or salt thereof, (e.g. oleic acid), a bile salt, a phospholipid, an alkyl saccharide, a perfluorinated or polyethoxylated surfactant, or other pharmaceutically acceptable dispersant.

Compounds of the invention may also be administered by means of a dry powder inhaler. The inhaler may be a single or a multi dose inhaler, and may be a breath actuated dry powder inhaler.

One possibility is to mix the finely divided compound with a carrier substance, e.g. a mono-, di- or polysaccharide, a sugar alcohol, or an other polyol. Suitable carriers are sugars, e.g. lactose, glucose, raffinose, melezitose, lactitol, maltitol, trehalose, sucrose, mannitol; and starch. Alternatively the finely divided compound may be coated by another substance. The powder mixture may also be dispensed into hard gelatine capsules, each containing the desired dose of the active compound.

Another possibility is to process the finely divided powder into spheres which break up during the inhalation procedure. This spheronized powder may be filled into the drug reservoir of a multidose inhaler, e.g. that known as the Turbuhaler[®] in which a dosing unit meters the desired dose which is then inhaled by the patient. With this system the active compound, with or without a carrier substance, is delivered to the patient.

For oral administration the active compound may be admixed with an adjuvant or a carrier, e.g. lactose, saccharose, sorbitol, mannitol; a starch, e.g. potato starch, corn starch or amylopectin; a cellulose derivative; a binder, e.g. gelatine or polyvinylpyrrolidone, and/or a lubricant, e.g. magnesium stearate, calcium stearate, polyethylene glycol, a wax, paraffin, and the like, and then compressed into tablets. If coated tablets are required, the cores, prepared as described above, may be coated with a concentrated sugar solution which may contain e.g. gum arabic, gelatine, talcum, titanium dioxide, and the like. Alternatively, the tablet may be coated with a suitable polymer dissolved in a readily volatile organic solvent.

For the preparation of soft gelatine capsules, the compound may be admixed with e.g. a vegetable oil or polyethylene glycol. Hard gelatine capsules may contain granules of the compound using either the above mentioned excipients for tablets. Also liquid or semisolid formulations of the drug may be filled into hard gelatine capsules.

Liquid preparations for oral application may be in the form of syrups or suspensions, for solutions containing the compound, the balance being sugar and a mixture of ethanol,

water, glycerol and propylene glycol. Optionally such liquid preparations may contain colouring agents, flavouring agents, saccharine and/or carboxymethylcellulose as a thickening agent or other excipients known to those skilled in art.

- 5 The compounds of the invention may also be administered in conjunction with other compounds used for the treatment of the above conditions.

The term 'medical therapy' as used herein is intended to include prophylactic, diagnostic and therapeutic regimens carried out in vivo or ex vivo on humans or other mammals.

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EXAMPLES

The following Examples are intended to illustrate, but in no way limit the scope of the invention.

15

All reactions were performed in dried glassware under Ar or N₂ unless otherwise noted. Tetrahydrofuran was distilled from sodium/benzophenone. Dimethyl formamide (DMF) was distilled from calcium hydride, or dried over molecular sieves. Other solvents and all commercial reagents were laboratory grade and used as received.

20

¹H - NMR spectra were recorded on a Varian XL-300, Varian Unity Inova 400 or a Varian Unity Inova 500 instrument. The central solvent peaks of chloroform-*d* (δ_{H} 7.27 ppm) and dimethyl sulphoxide-*d*₆ (δ_{H} 2.50 ppm) were used as internal references. Low-resolution mass spectra and accurate mass determinations were recorded on an Autospec-Q, Fisons Analytical, double focusing sector instrument equipped with a LSIMS interface. Low resolution mass spectra were also obtained on a Hewlett Packard 1100 LC-MS system equipped with APCI ionisation chamber.

25

DMSO is dimethylsulfoxide, MeOH is methanol and HOAc is acetic acid.

Example 1

3-(1-Ethyl-1H-indol-3-yl)-1-piperidin-3-ylmethyl-1H-quinoxalin-2-one trifluoroacetic acid salt

5

Polymeric imidazolide carbamate (3g, 3.0 mmol, prepared as described by Hauske, J. R.; Dorff, P. *Tetrahedron Lett.* 1995, 36, 1589-1592, from a Wang resin purchased from Rapp Polymere GmbH, Tübingen, Germany, 1.1 mmol/g,) was heated in DMF (25 ml) containing 3-piperidine methanol (1.38g, 12 mmol) at 90 °C for 13h. The resin was filtered and washed (3 times, 30ml) DMF, CH₂Cl₂, MeOH, and dried in vacuum. Gel-phase ¹³C-nmr (CDCl₃) showed formation of carbamate linked 3-piperidine methanol.

10

Oxalylchloride (25.9 ml, 0.3 mol) in CH₂Cl₂ (133ml) was added dropwise to DMSO in CH₂Cl₂ (133ml) during 30 min, at -78°C. After additional 15 min s-collidine (79ml, 0.6 mmol) in CH₂Cl₂ (133ml) was added during 20 min, and 15 min later a part of the cool activated DMSO-solution (50 ml, approx. 30 mmol) was added to the dried carbamate linked 3-piperidine methanol resin (approx. 3 mmol), and the mixture was shaken over night at room temperature. The resin was filtered and washed (3 times, 50ml) CH₂Cl₂, THF-H₂O-pyridine-6:2:1, THF, CH₂Cl₂, MeOH, and dried in vacuum. Gel-phase ¹³C-nmr (CDCl₃) showed oxidation of the carbamate linked 3-piperidine methanol.

15

20

A solution of 1,2-phenylenediamine (276 mg, 2.55mmol) and sodium triacetoxy borohydride (540 mg, 2.55 mmol) in DMF-HOAc (10:1, 8.5 ml) was added to the oxidised resin bound product (850 mg, 0.81 mmol), and the mixture was shaken over night at room temperature. The resin was filtered and washed (3 times, 10ml) DMF, THF-H₂O-NEt₃-6:2:1, DMF, CH₂Cl₂, MeOH, and dried in vacuum. Gel-phase ¹³C-nmr (CDCl₃) showed formation of N-alkylated 1,2-diaminobenzene.

25

The N-alkyl-1,2-diaminobenzene resin (75mg, 0.058 mmol) and 1-ethylindole-3-glyoxylic acid (79 mg, 0.36 mmol) in DMSO (0.28 ml) was heated at 100 °C for 1 h. The resin was

30

filtered and washed (4 times, 1 ml) DMF, CH₂Cl₂-MeCN-1:1, and reacted in CH₂Cl₂-MeCN-1:1 (0.4 ml) with TFA-Me₂S-H₂O-95:5:5 (0.8 ml) for 0.5 h. Water (0.27 ml) was added, after 5 min the resin was filtered and washed twice with CH₂Cl₂-MeCN (1:1, 1 ml). The combined filtrate and washings were concentrated and coevaporated with MeCN and the residue was dried in vacuum to give the title product (26 mg, 90%), purity 63% (HPLC, 254 nm). LC/APCI-MS showed the title product being the major component with m/z 387 [MH⁺].

An analytically pure sample of the corresponding free amine was obtained by silica gel chromatography (CH₂Cl₂-MeOH-NEt₃-100:33:1).

¹H-NMR of the free amine (500 MHz, DMSO-*d*₆): δ 1.31 (1H, m), 1.32 (1H, m), 1.44 (3H, t, *J* 7.2 Hz), 1.62 (1H, m), 1.75 (1H, m), 2.05 (1H, m), 2.47 (1H, dd, *J* 10.0, 11.0 Hz), 2.50 (1H, m), 2.85 (1H, m), 2.87 (1H, m), 4.21 (1H, dd, *J* 13.6, 6.4 Hz), 4.34 (1H, dd, *J* 13.6, 8.3 Hz), 4.35 (2H, q, *J* 7.2 Hz), 7.26-7.32 (2H, m), 7.39 (1H, br t, *J* 7.4 Hz), 7.54 (1H, br t, *J* 7.7 Hz), 7.61 (1H, m), 7.62 (1H, m), 7.93 (1H, dd, *J* 7.9, 1.4 Hz), 8.92 (1H, br d, *J* 7.7 Hz), 8.96 (1H, s).

Example 2

3-[1-(3-Amino-propyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

a) { 1-[3-(1,3-Dioxo-1,3-dihydro-isoindol-2-yl)-propyl]-1H-indol-3-yl }-oxo-acetic acid 2,5-dioxo-pyrrolidin-1-yl ester

1-[3-(1,3-Dioxo-1,3-dihydroisoindol-2-yl)-propyl]-1H-indol (1.00 g, 3.29 mmol) was dissolved in dichloromethane (10 ml) and cooled to 0°C. Oxalylchloride (0.28 ml, 3.29 mmol) was added and the reaction kept at 0°C for 30 minutes before the addition of N-hydroxysuccinimide (0.38 g, 3.29 mmol) followed by careful addition of pyridine (0.53 ml, 6.57 mmol).

After stirring the reaction for 1 hour at room temperature brine (5%, 10 ml) was added and the phases separated, the organic phase was washed with brine (5%, 2 x 10 ml), dried over Na₂SO₄ followed by removal of the solvent *in vacuo*. Crystallisation of the crude product from ethyl acetate - hexane yields the title product, 1.06 g (69%).

¹H-NMR (500 MHz, CDCl₃): δ 2.36 (2H, p, *J* 6.9 Hz), 2.93 (4H, s), 3.82 (2H, t, *J* 6.5 Hz), 4.29 (2H, t, *J* 7.5 Hz), 7.33-7.44 (3H, m), 7.70-7.75 (2H, m), 7.78-7.83 (2H, m), 8.32-8.36 (1H, m), 8.50 (1H, s).

FAB-MS: *m/z* 474 [MH⁺]

b) 2-{3-[3-(3-Oxo-8-phenyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-propyl}-isoindole-1,3-dione

1,2-Diamino-3-phenylbenzene (0.135 g, 0.57 mmol) and the product of step a) (0.250 g, 0.53 mmol) was dissolved in tetrahydrofuran (2.5 ml). Stirring overnight gives a yellow precipitate that was filtered off and washed with tetrahydrofuran/diethylether yielding the sub-title product (0.141 g, 51%).

¹H-NMR (400 MHz, DMSO-*d*₆): δ 2.16 (2H, p, *J* 6.9 Hz), 3.67 (2H, t, *J* 6.7 Hz), 4.43 (2H, t, *J* 7.3 Hz), 6.77 (1H, t, *J* 7.8 Hz), 6.95 (1H, dd, *J* 1.3, 7.5 Hz), 7.28-7.55 (8H, m), 7.70-7.76 (1H, m), 7.79-7.88 (4H, m), 8.27-8.34 (1H, m), 8.94 (1H, s), 9.97 (1H, s).

The product of step b) (0.142 g, 0.253 mmol) was suspended in tetrahydrofuran (1 ml) and aqueous methylamine (40%, 1 ml) was added. After stirring overnight the solvent was removed *in vacuo*. The residue was washed with water and treated with glacial acetic acid to obtain the title compound after freeze drying as a yellow solid (0.111 g, 99%).

¹H-NMR (400 MHz, DMSO-*d*₆): δ 1.90 (2H, q, *J* 6.7 Hz), 2.58 (2H, t, *J* 6.9 Hz), 4.35 (2H, t, *J* 7.1 Hz), 6.84 (1H, t, *J* 7.6 Hz), 7.18 (1H, t, *J* 8.1 Hz), 7.30 (1H, d, *J* 7.6 Hz), 7.35 (1H,

d, *J* 8.2 Hz), 7.49 (1H, t, *J* 8.2 Hz), 7.50-7.57 (4H, m), 7.62-7.67 (2H, m), 8.17 (1H, d, *J* 8.3 Hz), 8.96 (1H, s).

FAB-MS: *m/z* 395.1 [MH⁺].

5

The following examples were synthesised following the methods described above:

Example 3

10 1-(6-Amino-hexyl)-6,7-dichloro-3-[1-(3-methoxy-benzyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

FAB-MS: *m/z* 550 [MH⁺]

15 Example 4

1-(5-Amino-pentyl)-6,7-dichloro-3-[1-(3-methoxy-benzyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

20 FAB-MS: *m/z* 536 [MH⁺]

Example 5

1-(3-Hydroxymethyl-benzyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one

25

FAB-MS: *m/z* 410 [MH⁺]

Example 6

30 1-[3-(4-Hydroxy-phenyl)-propyl]-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one

FAB-MS: m/z 424 [MH+]

Example 7

5

3-(1H-Indol-3-yl)-6,7-dimethyl-1-(2-piperazin-1-yl-ethyl)-1H-quinoxalin-2-one bis
trifluoroacetic acid salt

FAB-MS: m/z 402 [MH+]

10

Example 8

1-[2-(2-Amino-ethoxy)-ethyl]-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one
trifluoroacetic acid salt

15 FAB-MS: m/z 377 [MH+]

Example 9

1-(2-Amino-ethyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one trifluoroacetic
20 acid salt

FAB-MS: m/z 333 [MH+]

Example 10

25

1-(2-Amino-1-methyl-ethyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one
trifluoroacetic acid salt

FAB-MS: m/z 347 [MH+]

30

Example 11

1-(4-Amino-cyclohexyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one
trifluoroacetic acid salt

5

FAB-MS: m/z 387 [MH+]

Example 12

10 3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-1H-pyrido[2,3-b]pyrazin-2-one acetic acid
salt

FAB-MS: m/z 365 [MH+]

15 Example 13

3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-6,7-dimethyl-1H-quinoxalin-2-one acetic
acid salt

20

FAB-MS: m/z 392 [MH+]

Example 1425

2-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-4H-pyrido[3,4-b]pyrazin-3-one acetic acid
salt

FAB-MS: m/z 365 [MH+]

Example 15

30

3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-7-trifluoromethyl-1H-quinoxalin-2-one
acetic acid salt

FAB-MS: m/z 432 [MH+]

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Example 16

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-1H-pyrido[2,3-b]pyrazin-2-one acetic acid
salt

10

FAB-MS: m/z 347 [MH+]

Example 17

15 3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-6,7-dimethyl-1H-quinoxalin-2-one acetic
acid salt

FAB-MS: m/z 375 [MH+]

20 Example 18

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-6,7-dichloro-1H-quinoxalin-2-one acetic
acid salt

25 FAB-MS: m/z 416 [MH+]

Example 19

30 2-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-4H-pyrido[3,4-b]pyrazin-3-one acetic
salt

FAB-MS: m/z 348 [MH+]

Example 20

5

2-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-4H-pyrido[3,4-b]pyrazin-3-one acetic acid salt

FAB-MS: m/z 361 [MH+]

10

Example 21

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-7-trifluoromethyl-1H-quinoxalin-2-one acetic acid salt

15

FAB-MS: m/z 415 [MH+]

Example 22

20 3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-7-nitro-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 392 [MH+]

Example 23

25

3-[5-(3-Aminomethyl-benzyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 501.6 [MH+]

30

Example 24

3-[5-(3-Amino-propyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-7-phenyl-1H-quinoxalin-2-one
acetic acid salt

5

FAB-MS: m/z 439.5 [MH+]

Example 25

10 3-[1-(3-Amino-propyl)-5-dibenzylamino-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one
acetic acid salt

FAB-MS: m/z 590.7 [MH+]

15 Example 26

3-[1-(3-Amino-propyl)-2-(4-chloro-phenyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one
acetic acid salt

20

FAB-MS: m/z 506.0 [MH+]

Example 2725

3-[1-(3-Amino-propyl)-2-methyl-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 409.5 [MH+]

Example 28

30

1-(3-Amino-propyl)-3-(3-oxo-6-phenyl-3,4-dihydro-quinoxalin-2-yl)-1H-indole-5-carboxylic acid methyl ester acetic acid salt

FAB-MS: m/z 453.5 [MH+]

5

Example 29

3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt

10

FAB-MS: m/z 440.5 [MH+]

Example 30

15 3-[1-(3-Amino-propyl)-5-methoxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 425.5 [MH+]

20 Example 31

3-[5-(3-Aminomethyl-benzyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

25 FAB-MS: m/z 501.6 [MH+]

Example 32

30 3-[5-(3-Amino-propyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 439.5 [MH+]

Example 33

5

3-[1-(3-Amino-propyl)-5-dibenzylamino-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one
acetic acid salt

FAB-MS: m/z 590.7 [MH+]

10

Example 34

3-[1-(3-Amino-propyl)-2-methyl-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt

15

FAB-MS: m/z 409.5 [MH+]

Example 35

20 1-(3-Amino-propyl)-3-(3-oxo-8-phenyl-3,4-dihydro-quinoxalin-2-yl)-1H-indole-5-
carboxylic acid methyl ester acetic acid salt

FAB-MS: m/z 453.5 [MH+]

25 Example 36

3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt

30 FAB-MS: m/z 440.5 [MH+]

Example 37

3-[1-(3-Amino-propyl)-5-methoxy-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic
5 acid salt

FAB-MS: m/z 425.5 [MH+]

Example 38

10 3-[1-(3-Amino-propyl)-6-benzyloxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic
acid salt

FAB-MS: m/z 501.6 [MH+]

Example 39

15 3-[1-(3-Amino-propyl)-5-benzyloxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic
acid salt

20 FAB-MS: m/z 501.6 [MH+]

Example 40

25 3-[1-(3-Amino-propyl)-5-bromo-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 473.0, 475.0 [MH+]

Example 41

3-[1-(3-Amino-propyl)-2-ethyl-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt

5

FAB-MS: m/z 423.5 [MH+]

Example 42

10 3-[1-(4-Amino-butyl)-2-benzyl-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 499.6 [MH+]

15 Example 43

3-[1-(6-Aminomethyl-pyridin-2-ylmethyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one
acetic acid salt

20

FAB-MS: m/z 458.5 [MH+]

Example 44

25 3-[1-(4-Aminomethyl-benzyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 457.6 [MH+]

Example 45

3-[1-(3-Aminomethyl-benzyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt

5

FAB-MS: m/z 457.6 [MH+]

Example 46

10 3-[1-(4-Amino-butyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 409.5 [MH+]

Example 47

15

3-[1-(3-Amino-propyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 395.5 [MH+]

20 Example 48

3-[1-(3-Amino-propyl)-6-benzyloxy-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

25 FAB-MS: m/z 501.6 [MH+]

Example 49

30 3-[1-(3-Amino-propyl)-5-benzyloxy-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 501.6 [MH⁺]

Example 50

5 3-[1-(3-Amino-propyl)-5-bromo-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 473.0, 475.0 [MH⁺]

10 Example 51

3-[1-(3-Amino-propyl)-2-ethyl-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt

15 FAB-MS: m/z 423.5 [MH⁺]

Example 52

20 3-[1-(4-Amino-butyl)-2-benzyl-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 499.6 [MH⁺]

Example 53

25 3-[1-(4-Aminomethyl-benzyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt

FAB-MS: m/z 457.6 [MH⁺]

30

Example 54

3-[1-(3-Aminomethyl-benzyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

5

FAB-MS: m/z 457.6 [MH+]

Example 55

10 3-[1-(4-Amino-butyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 409.5 [MH+]

Example 56

15

3-[1-(3-Amino-propyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

FAB-MS: m/z 395.5 [MH+]

20 Example 57

1-(2-Amino-1-methyl-ethyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

25 APCI-MS: 347 [MH+]

Example 58

2-{3-[4-(2-Amino-1-methyl-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

30

APCI-MS: 432 [MH+]

Example 59

5 4-{3-[4-(2-Amino-1-methyl-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-
benzonitrile trifluoroacetic acid salt

APCI-MS: 434 [MH+]

10 Example 60

1-(2-Amino-1-methyl-ethyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-
quinoxalin-2-one trifluoroacetic acid salt

15 APCI-MS: 403 [MH+]

Example 61

3-(1-Ethyl-1H-indol-3-yl)-1-(2-methylamino-ethyl)-1H-quinoxalin-2-one trifluoroacetic
20 acid salt

APCI-MS: 347 [MH+]

Example 62

25

N,N-Diethyl-2-{3-[4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-
yl}-acetamide trifluoroacetic acid salt

APCI-MS: 432 [MH+]

30

Example 63

4-{3-[4-(2-Methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-
benzonitrile trifluoroacetic acid salt

5

APCI-MS: 434 [MH+]

Example 64

10 1-(2-Methylamino-ethyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-
quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 403 [MH+]

15 **Example 65**

1-(2-Amino-4-methyl-pentyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

20 APCI-MS: 389 [MH+]

Example 66

25 2-{3-[4-(2-Amino-4-methyl-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-
diethyl-acetamide trifluoroacetic acid salt

APCI-MS: 474 [MH+]

Example 67

4-{3-[4-(2-Amino-4-methyl-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

5

APCI-MS: 476 [MH+]

Example 68

10 1-(2-Amino-4-methyl-pentyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 445 [MH+]

15 3-(1-Ethyl-1H-indol-3-yl)-1-piperidin-3-ylmethyl-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 387 [MH+]

20 **Example 70**

N,N-Diethyl-2-[3-(3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-acetamide trifluoroacetic acid salt

25 APCI-MS: 472 [MH+]

4-[3-(3-Oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-benzonitrile trifluoroacetic acid salt

30 APCI-MS: 474 [MH+]

Example 72

1-Piperidin-3-ylmethyl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 443 [MH+]

Example 73

10 3-(1-Ethyl-1H-indol-3-yl)-1-piperidin-4-yl-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 373 [MH+]

Example 74

15

N,N-Diethyl-2-[3-(3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-acetamide trifluoroacetic acid salt

APCI-MS: 458 [MH+]

20

Example 75

4-[3-(3-Oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 460 [MH+]

Example 76

1-Piperidin-4-yl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one
trifluoroacetic acid salt

5

APCI-MS: 429 [MH+]

Example 77

10 1-(3-Amino-propyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid
salt

APCI-MS: 347 [MH+]

15 **Example 78**

2-{3-[4-(3-Amino-propyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-
acetamide trifluoroacetic acid salt

20 APCI-MS: 432 [MH+]

Example 79

4-{3-[4-(3-Amino-propyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-
25 benzonitrile trifluoroacetic acid salt

APCI-MS: 434 [MH+]

Example 80

1-(3-Amino-propyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 403 [MH+]

Example 81

10 1-[2-(2-Amino-ethoxy)-ethyl]-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 377 [MH+]

15 Example 82

2-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-3-oxo-3,4-dihydro-quinoxalin-2-yl}-indol-1-yl)-N,N-diethyl-acetamide trifluoroacetic acid salt

20 APCI-MS: 462 [MH+]

Example 83

4-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-3-oxo-3,4-dihydro-quinoxalin-2-yl}-indol-1-ylmethyl)-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 464 [MH+]

Example 84

1-[2-(2-Amino-ethoxy)-ethyl]-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 433 [MH+]

Example 85

10 1-(5-Amino-pentyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 375 [MH+]

Example 86

15

2-{3-[4-(5-Amino-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

APCI-MS: 460 [MH+]

20

Example 87

4-{3-[4-(5-Amino-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 462 [MH+]

Example 88

1-(5-Amino-pentyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 431 [MH+]

Example 89

10 1-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 415, 417 [MH+]

15 **Example 90**

2-{3-[4-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

20 APCI-MS: 500, 502 [MH+]

Example 91

4-{3-[4-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 502, 504 [MH+]

Example 92

1-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 471, 473 [MH+]

Example 93

10 6,7-Dichloro-3-(1-ethyl-1H-indol-3-yl)-1-(2-methylamino-ethyl)-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 415, 417 [MH+]

15 Example 94

2-{3-[6,7-Dichloro-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

20

APCI-MS: 500, 502 [MH+]

Example 95

4-{3-[6,7-Dichloro-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 502, 504 [MH+]

Example 96

6,7-Dichloro-1-(2-methylamino-ethyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 471, 473 [MH+]

Example 97

10 1-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

APCI-MS: 457, 459 [MH+]

15 **Example 98**

2-{3-[4-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-
indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

20 APCI-MS: 542, 544 [MH+]

Example 99

4-{3-[4-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-
25 indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

APCI-MS: 544, 546 [MH+]

Example 100

1-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 513, 515 [MH+]

Example 101

10 6,7-Dichloro-3-(1-ethyl-1H-indol-3-yl)-1-piperidin-3-ylmethyl-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 455, 457 [MH+]

15 **Example 102**

2-[3-(6,7-Dichloro-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-N,N-diethyl-acetamide trifluoroacetic acid salt

20 APCI-MS: 540, 542 [MH+]

Example 103

4-[3-(6,7-Dichloro-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 542, 544 [MH+]

Example 104

6,7-Dichloro-1-piperidin-3-ylmethyl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 511, 513 [MH+]

Example 105

10 6,7-Dichloro-3-(1-ethyl-1H-indol-3-yl)-1-piperidin-4-yl-1H-quinoxalin-2-one
trifluoroacetic acid salt

APCI-MS: 441, 443 [MH+]

15 Example 106

2-[3-(6,7-Dichloro-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-N,N-
diethyl-acetamide trifluoroacetic acid salt

20 APCI-MS: 526, 528 [MH+]

Example 107

4-[3-(6,7-Dichloro-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-
25 benzonitrile trifluoroacetic acid salt

APCI-MS: 528, 530 [MH+]

Example 108

6,7-Dichloro-1-piperidin-4-yl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 497, 499 [MH+]

Example 109

10 1-(3-Amino-propyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 415, 417 [MH+]

15 Example 110

2-{3-[4-(3-Amino-propyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

20 APCI-MS: 500, 502 [MH+]

Example 111

4-{3-[4-(3-Amino-propyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

25

APCI-MS: 502, 504 [MH+]

Example 112

1-(3-Amino-propyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-
quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 471, 473 [MH+]

Example 113

10 1-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

APCI-MS: 445, 447 [MH+]

15 Example 114

2-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl}-
indol-1-yl)-N,N-diethyl-acetamide trifluoroacetic acid salt

20

APCI-MS: 530, 532 [MH+]

Example 11525

4-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl}-
indol-1-ylmethyl)-benzonitrile trifluoroacetic acid salt

APCI-MS: 532, 534 [MH+]

Example 116

1-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 501, 503 [MH+]

Example 117

1-(5-Amino-pentyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: 443, 445 [MH+]

Example 118

2-{3-[4-(5-Amino-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

APCI-MS: 528, 530 [MH+]

Example 119

4-{3-[4-(5-Amino-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

APCI-MS: 530, 532 [MH+]

Example 120

1-(5-Amino-pentyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-
quinoxalin-2-one trifluoroacetic acid salt

5

APCI-MS: 499, 501 [MH+]

Example 121

10 4-(2-Amino-1-methyl-ethyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-
carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 405 [MH+]

15 Example 122

4-(2-Amino-1-methyl-ethyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-
dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20 APCI-MS: 490 [MH+]

Example 123

4-(2-Amino-1-methyl-ethyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-
25 quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 492 [MH+]

Example 124

4-(2-Amino-1-methyl-ethyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 461 [MH+]

Example 125

10 2-(1-Ethyl-1H-indol-3-yl)-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 405 [MH+]

15 Example 126

2-(1-Diethylcarbamoylmethyl-1H-indol-3-yl)-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20

APCI-MS: 490 [MH+]

Example 127

25 2-[1-(4-Cyano-benzyl)-1H-indol-3-yl]-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 492 [MH+]

Example 128

4-(2-Methylamino-ethyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 461 [MH+]

Example 129

10 4-(2-Amino-4-methyl-pentyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 447 [MH+]

15 Example 130

4-(2-Amino-4-methyl-pentyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20 APCI-MS: 532 [MH+]

Example 131

25 4-(2-Amino-4-methyl-pentyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 534 [MH+]

Example 132

4-(2-Amino-4-methyl-pentyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 503 [MH+]

Example 133

10 2-(1-Ethyl-1H-indol-3-yl)-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxaline-6-
carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 445 [MH+]

15 **Example 134**

2-(1-Diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20 APCI-MS: 530 [MH+]

Example 135

25 2-[1-(4-Cyano-benzyl)-1H-indol-3-yl]-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 532 [MH+]

Example 136

3-Oxo-4-piperidin-3-ylmethyl-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 501 [MH+]

Example 137

10 2-(1-Ethyl-1H-indol-3-yl)-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 431 [MH+]

15 **Example 138**

2-(1-Diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20 APCI-MS: 516 [MH+]

Example 139

25 2-[1-(4-Cyano-benzyl)-1H-indol-3-yl]-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 518 [MH+]

Example 140

3-Oxo-4-piperidin-4-yl-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 487 [MH+]

Example 141

10 4-(3-Amino-propyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic
acid methyl ester trifluoroacetic acid salt

APCI-MS: 405 [MH+]

15 **Example 142**

4-(3-Amino-propyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20 APCI-MS: 490 [MH+]

Example 143

4-(3-Amino-propyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-quinoxaline-
25 6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 492 [MH+]

Example 144

4-(3-Amino-propyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 461 [MH+]

Example 145

10 4-[2-(2-Amino-ethoxy)-ethyl]-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-
carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 435 [MH+]

15 **Example 146**

4-[2-(2-Amino-ethoxy)-ethyl]-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-
dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20 APCI-MS: 520 [MH+]

Example 147

25 4-[2-(2-Amino-ethoxy)-ethyl]-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 522 [MH+]

Example 148

4-[2-(2-Amino-ethoxy)-ethyl]-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 491 [MH+]

Example 149

10 4-(5-Amino-pentyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic
acid methyl ester trifluoroacetic acid salt

APCI-MS: 433 [MH+]

15 Example 150

4-(5-Amino-pentyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

20

APCI-MS: 518 [MH+]

Example 151

25 4-(5-Amino-pentyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-quinoxaline-
6-carboxylic acid methyl ester trifluoroacetic acid salt

APCI-MS: 520 [MH+]

Example 152

4-(5-Amino-pentyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

5

APCI-MS: 489 [MH+]

Example 153

10 3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-6-methyl-1H-quinoxalin-2-one acetic acid
salt

APCI-MS: 362 [MH+]

15 Example 154

1-(5-Amino-pentyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one trifluoroacetic
acid salt

20

APCI-MS: 375 [MH+]

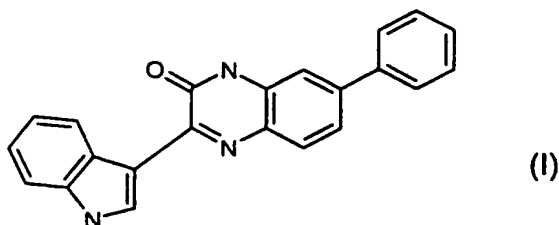
Example 155

1-[2-(2-Amino-ethoxy)-ethyl]-3-[1-(3-methoxy-benzyl)-1H-indol-3-yl]-1H-
25 benzo[g]quinoxalin-2-one trifluoroacetic acid salt

APCI-MS: m/z 519 [MH+]

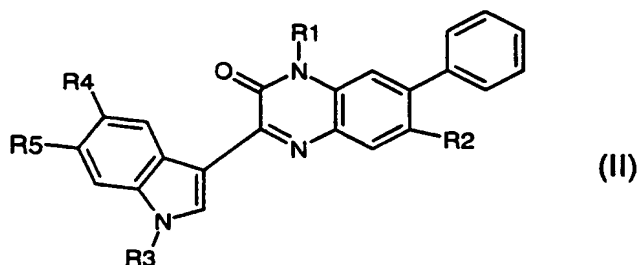
CLAIMS

1. An optionally substituted and/or annulated compound of formula (I):



and salts thereof.

2. A compound according to claim 1, of formula (II):



wherein:

- 15 R1 is H, 2-amino-1-methyl-ethyl, 2-methylamino-ethyl, 2-amino-4-methyl-pentyl, piperidin-3-ylmethyl, piperidin-4-yl, 3-aminopropyl, 2-(2-amino-ethoxy)-ethyl or 5-amino-pentyl,

R2 is H, halogen, or carboxyC₁₋₆alkyl,

20

R3 is C₁₋₆ alkyl, N,N-diethylacetamid-2-yl, 4-cyanobenzyl, tetrahydro-furan-2-ylmethyl, 3-amino-propyl or 3-amino-butyl,

R4 and R5 are each independently H, halogen, benzyloxy or carboxyC₁₋₆alkyl,

and salts thereof.

5

3. An optionally substituted and/or annulated compound according to claim 2, comprising

i) 3-[1-(3-Amino-propyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one or

10

ii) 3-[1-(4-Amino-butyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one

and salts thereof.

4. The compounds:

15

1-(3-Amino-propyl)-3-(3-oxo-6-phenyl-3,4-dihydro-quinoxalin-2-yl)-1H-indole-5-carboxylic acid methyl ester acetic acid salt,

3-[1-(3-Amino-propyl)-6-benzyloxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

20

3-[1-(3-Amino-propyl)-5-benzyloxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

25 3-[1-(3-Amino-propyl)-5-bromo-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

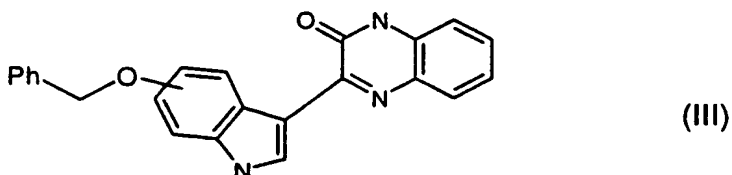
3-[1-(4-Amino-butyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

30

3-[1-(3-Amino-propyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

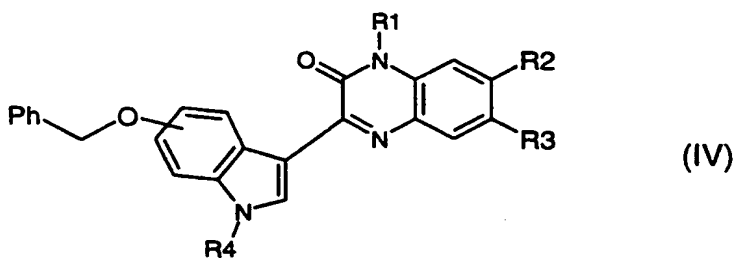
and their free bases and other pharmaceutically acceptable salts thereof.

5. An optionally substituted and/or annulated compound of formula (III)



and salts thereof.

10 6. A compound according to claim 5, of formula (IV)



wherein:

15

R1 is H, 2-amino-1-methyl-ethyl, 2-methylamino-ethyl, 2-amino-4-methyl-pentyl, piperidin-3-ylmethyl, piperidin-4-yl, 3-aminopropyl, 2-(2-amino-ethoxy)-ethyl or 5-amino-pentyl,

20

R2 is H, halogen, or carboxyC₁₋₆alkyl,

R3 is H, halogen, phenyl or carboxyC₁₋₆alkyl,

R4 is C₁₋₆ alkyl, N,N-diethylacetamid-2-yl, 4-cyanobenzyl, tetrahydro-furan-2-ylmethyl,

3-amino-propyl or 3-amino-butyl,

and salts thereof.

5 7. The compounds:

3-(1-Ethyl-1H-indol-3-yl)-1-piperidin-3-ylmethyl-1H-quinoxalin-2-one trifluoroacetic acid salt,

10 3-[1-(3-Amino-propyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt,

1-(6-Amino-hexyl)-6,7-dichloro-3-[1-(3-methoxy-benzyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt,

15 1-(5-Amino-pentyl)-6,7-dichloro-3-[1-(3-methoxy-benzyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt,

1-(3-Hydroxymethyl-benzyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one,

20 1-[3-(4-Hydroxy-phenyl)-propyl]-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one,

3-(1H-Indol-3-yl)-6,7-dimethyl-1-(2-piperazin-1-yl-ethyl)-1H-quinoxalin-2-one bis trifluoroacetic acid salt,

25 1-[2-(2-Amino-ethoxy)-ethyl]-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one trifluoroacetic acid salt,

1-(2-Amino-ethyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one trifluoroacetic acid salt,

30

1-(2-Amino-1-methyl-ethyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one
trifluoroacetic acid salt,

1-(4-Amino-cyclohexyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one
5 trifluoroacetic acid salt,

3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-1H-pyrido[2,3-b]pyrazin-2-one acetic acid
salt,

10 3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-6,7-dimethyl-1H-quinoxalin-2-one acetic
acid salt,

2-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-4H-pyrido[3,4-b]pyrazin-3-one acetic acid
salt,

15 3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-7-trifluoromethyl-1H-quinoxalin-2-one
acetic acid salt,

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-1H-pyrido[2,3-b]pyrazin-2-one acetic acid
20 salt,

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-6,7-dimethyl-1H-quinoxalin-2-one acetic
acid salt,

25 3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-6,7-dichloro-1H-quinoxalin-2-one acetic
acid salt,

2-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-4H-pyrido[3,4-b]pyrazin-3-one acetic acid
salt,

30

2-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-4H-pyrido[3,4-b]pyrazin-3-one acetic acid salt,

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-7-trifluoromethyl-1H-quinoxalin-2-one
5 acetic acid salt,

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-7-nitro-1H-quinoxalin-2-one acetic acid salt,

10 3-[5-(3-Aminomethyl-benzyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[5-(3-Amino-propyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-7-phenyl-1H-quinoxalin-2-one
15 acetic acid salt,

3-[1-(3-Amino-propyl)-5-dibenzylamino-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one
acetic acid salt,

3-[1-(3-Amino-propyl)-2-(4-chloro-phenyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one
20 acetic acid salt,

3-[1-(3-Amino-propyl)-2-methyl-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt,

25 3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt,

3-[1-(3-Amino-propyl)-5-methoxy-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic
acid salt,

30

3-[5-(3-Aminomethyl-benzyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[5-(3-Amino-propyl)-5H-[1,3]dioxolo[4,5-f]indol-7-yl]-5-phenyl-1H-quinoxalin-2-one
5 acetic acid salt,

3-[1-(3-Amino-propyl)-5-dibenzylamino-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one
acetic acid salt,

10 3-[1-(3-Amino-propyl)-2-methyl-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt,

15 1-(3-Amino-propyl)-3-(3-oxo-8-phenyl-3,4-dihydro-quinoxalin-2-yl)-1H-indole-5-
carboxylic acid methyl ester acetic acid salt,

3-[1-(3-Amino-propyl)-6-nitro-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid
salt,

20 3-[1-(3-Amino-propyl)-5-methoxy-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic
acid salt,

3-[1-(3-Amino-propyl)-2-ethyl-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt,

25 3-[1-(4-Amino-butyl)-2-benzyl-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid
salt,

3-[1-(6-Aminomethyl-pyridin-2-ylmethyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one
acetic acid salt,

3-[1-(4-Aminomethyl-benzyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

5 3-[1-(3-Aminomethyl-benzyl)-1H-indol-3-yl]-7-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[1-(3-Amino-propyl)-6-benzyloxy-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt,

10 3-[1-(3-Amino-propyl)-5-benzyloxy-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt,

15 3-[1-(3-Amino-propyl)-5-bromo-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt,

3-[1-(3-Amino-propyl)-2-ethyl-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

20 3-[1-(4-Amino-butyl)-2-benzyl-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

3-[1-(4-Aminomethyl-benzyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

25 3-[1-(3-Aminomethyl-benzyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

3-[1-(4-Amino-butyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

30 3-[1-(3-Amino-propyl)-1H-indol-3-yl]-5-phenyl-1H-quinoxalin-2-one acetic acid salt

1-(2-Amino-1-methyl-ethyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

5 2-{3-[4-(2-Amino-1-methyl-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(2-Amino-1-methyl-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

10

1-(2-Amino-1-methyl-ethyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

15

3-(1-Ethyl-1H-indol-3-yl)-1-(2-methylamino-ethyl)-1H-quinoxalin-2-one trifluoroacetic acid salt

N,N-Diethyl-2-{3-[4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-acetamide trifluoroacetic acid salt

20

4-{3-[4-(2-Methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

1-(2-Methylamino-ethyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

25

1-(2-Amino-4-methyl-pentyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

30

2-{3-[4-(2-Amino-4-methyl-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(2-Amino-4-methyl-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

5 1-(2-Amino-4-methyl-pentyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

3-(1-Ethyl-1H-indol-3-yl)-1-piperidin-3-ylmethyl-1H-quinoxalin-2-one trifluoroacetic acid salt

10

N,N-Diethyl-2-[3-(3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-acetamide trifluoroacetic acid salt

15

4-[3-(3-Oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-benzonitrile trifluoroacetic acid salt

1-Piperidin-3-ylmethyl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

20

3-(1-Ethyl-1H-indol-3-yl)-1-piperidin-4-yl-1H-quinoxalin-2-one trifluoroacetic acid salt

N,N-Diethyl-2-[3-(3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-acetamide trifluoroacetic acid salt

25

4-[3-(3-Oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-benzonitrile trifluoroacetic acid salt

1-Piperidin-4-yl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

30

1-(3-Amino-propyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

2-{3-[4-(3-Amino-propyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-
5 acetamide trifluoroacetic acid salt

4-{3-[4-(3-Amino-propyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-
benzonitrile trifluoroacetic acid salt

10 1-(3-Amino-propyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

1-[2-(2-Amino-ethoxy)-ethyl]-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

15 2-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-3-oxo-3,4-dihydro-quinoxalin-2-yl}-indol-1-yl)-N,N-diethyl-acetamide trifluoroacetic acid salt

4-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-3-oxo-3,4-dihydro-quinoxalin-2-yl}-indol-1-ylmethyl)-benzonitrile trifluoroacetic acid salt

1-[2-(2-Amino-ethoxy)-ethyl]-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

25 1-(5-Amino-pentyl)-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

2-{3-[4-(5-Amino-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(5-Amino-pentyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-
benzonitrile trifluoroacetic acid salt

1-(5-Amino-pentyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-
one trifluoroacetic acid salt

1-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

2-{3-[4-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-
1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-
1-ylmethyl}-benzonitrile trifluoroacetic acid salt

1-(2-Amino-1-methyl-ethyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-
yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

6,7-Dichloro-3-(1-ethyl-1H-indol-3-yl)-1-(2-methylamino-ethyl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

2-{3-[6,7-Dichloro-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-
yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[6,7-Dichloro-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-
ylmethyl}-benzonitrile trifluoroacetic acid salt

6,7-Dichloro-1-(2-methylamino-ethyl)-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
1H-quinoxalin-2-one trifluoroacetic acid salt

1-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

2-{3-[4-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-
indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-
indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

1-(2-Amino-4-methyl-pentyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-
yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

6,7-Dichloro-3-(1-ethyl-1H-indol-3-yl)-1-piperidin-3-ylmethyl-1H-quinoxalin-2-one
trifluoroacetic acid salt

2-[3-(6,7-Dichloro-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-
N,N-diethyl-acetamide trifluoroacetic acid salt

4-[3-(6,7-Dichloro-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxalin-2-yl)-indol-1-
ylmethyl]-benzonitrile trifluoroacetic acid salt

6,7-Dichloro-1-piperidin-3-ylmethyl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
1H-quinoxalin-2-one trifluoroacetic acid salt

6,7-Dichloro-3-(1-ethyl-1H-indol-3-yl)-1-piperidin-4-yl-1H-quinoxalin-2-one
trifluoroacetic acid salt

2-[3-(6,7-Dichloro-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-yl]-N,N-
diethyl-acetamide trifluoroacetic acid salt

4-[3-(6,7-Dichloro-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxalin-2-yl)-indol-1-ylmethyl]-benzonitrile trifluoroacetic acid salt

6,7-Dichloro-1-piperidin-4-yl-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

1-(3-Amino-propyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

2-{3-[4-(3-Amino-propyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(3-Amino-propyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-ylmethyl}-benzonitrile trifluoroacetic acid salt

1-(3-Amino-propyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

1-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one trifluoroacetic acid salt

2-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl}-indol-1-yl)-N,N-diethyl-acetamide trifluoroacetic acid salt

4-(3-{4-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl}-indol-1-ylmethyl)-benzonitrile trifluoroacetic acid salt

1-[2-(2-Amino-ethoxy)-ethyl]-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-quinoxalin-2-one trifluoroacetic acid salt

1-(5-Amino-pentyl)-6,7-dichloro-3-(1-ethyl-1H-indol-3-yl)-1H-quinoxalin-2-one
trifluoroacetic acid salt

2-{3-[4-(5-Amino-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-yl}-
5 N,N-diethyl-acetamide trifluoroacetic acid salt

4-{3-[4-(5-Amino-pentyl)-6,7-dichloro-3-oxo-3,4-dihydro-quinoxalin-2-yl]-indol-1-
ylmethyl}-benzonitrile trifluoroacetic acid salt

10 1-(5-Amino-pentyl)-6,7-dichloro-3-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-1H-
quinoxalin-2-one trifluoroacetic acid salt

4-(2-Amino-1-methyl-ethyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-
carboxylic acid methyl ester trifluoroacetic acid salt

15

4-(2-Amino-1-methyl-ethyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-
dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(2-Amino-1-methyl-ethyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-
20 quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(2-Amino-1-methyl-ethyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-
dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

25 2-(1-Ethyl-1H-indol-3-yl)-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-quinoxaline-6-
carboxylic acid methyl ester trifluoroacetic acid salt

2-(1-Diethylcarbamoylmethyl-1H-indol-3-yl)-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

30

2-[1-(4-Cyano-benzyl)-1H-indol-3-yl]-4-(2-methylamino-ethyl)-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(2-Methylamino-ethyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-
5 dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(2-Amino-4-methyl-pentyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-
carboxylic acid methyl ester trifluoroacetic acid salt

10 4-(2-Amino-4-methyl-pentyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-
dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(2-Amino-4-methyl-pentyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

15

4-(2-Amino-4-methyl-pentyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

2-(1-Ethyl-1H-indol-3-yl)-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-quinoxaline-6-
20 carboxylic acid methyl ester trifluoroacetic acid salt

2-(1-Diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

25 2-[1-(4-Cyano-benzyl)-1H-indol-3-yl]-3-oxo-4-piperidin-3-ylmethyl-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

3-Oxo-4-piperidin-3-ylmethyl-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-
dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

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2-(1-Ethyl-1H-indol-3-yl)-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

2-(1-Diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-4-piperidin-4-yl-3,4-dihydro-
5 quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

2-[1-(4-Cyano-benzyl)-1H-indol-3-yl]-3-oxo-4-piperidin-4-yl-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

10 3-Oxo-4-piperidin-4-yl-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(3-Amino-propyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

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4-(3-Amino-propyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(3-Amino-propyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-quinoxaline-
20 6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(3-Amino-propyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

25 4-[2-(2-Amino-ethoxy)-ethyl]-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-[2-(2-Amino-ethoxy)-ethyl]-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

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4-[2-(2-Amino-ethoxy)-ethyl]-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-[2-(2-Amino-ethoxy)-ethyl]-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-
5 3,4-dihydro-quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(5-Amino-pentyl)-2-(1-ethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-quinoxaline-6-carboxylic
acid methyl ester trifluoroacetic acid salt

10 4-(5-Amino-pentyl)-2-(1-diethylcarbamoylmethyl-1H-indol-3-yl)-3-oxo-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

4-(5-Amino-pentyl)-2-[1-(4-cyano-benzyl)-1H-indol-3-yl]-3-oxo-3,4-dihydro-quinoxaline-
6-carboxylic acid methyl ester trifluoroacetic acid salt

15 4-(5-Amino-pentyl)-3-oxo-2-[1-(tetrahydro-furan-2-ylmethyl)-1H-indol-3-yl]-3,4-dihydro-
quinoxaline-6-carboxylic acid methyl ester trifluoroacetic acid salt

3-[1-(3-Amino-propyl)-7-ethyl-1H-indol-3-yl]-6-methyl-1H-quinoxalin-2-one acetic acid
20 salt

1-(5-Amino-pentyl)-3-(1H-indol-3-yl)-6,7-dimethyl-1H-quinoxalin-2-one trifluoroacetic
acid salt

25 1-[2-(2-Amino-ethoxy)-ethyl]-3-[1-(3-methoxy-benzyl)-1H-indol-3-yl]-1H-
benzo[g]quinoxalin-2-one trifluoroacetic acid salt,

and their free bases and other pharmaceutically acceptable salts thereof

8. A pharmaceutical composition wherein the active ingredient is a compound according to any one of claims 1 to 7 together with a pharmaceutically acceptable adjuvant, diluent or carrier.

5 9. A compound according to any one of claims 1 to 7, for use in medical therapy.

10. The compound according to claim 9, wherein the medical therapy is the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders.

10

11. Use of a compound according to any one of claims 1 to 7 in the manufacture of a medicament for the treatment of inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders.

15 12. A method for treatment of an inflammatory, immunological, bronchopulmonary, cardiovascular, oncological or CNS-degenerative disorders, wherein a therapeutically effective amount of a compound according to any one of claims 1 to 7 is administered to a mammal in need of such treatment.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 99/00276

A. CLASSIFICATION OF SUBJECT MATTER

IPC6: C07D 403/04, C07D 471/04, C07D 491/04, C07D 403/14, C07D 405/14, A61K 31/50
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC6: C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CAS-ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 9813368 A1 (ASTRA AKTIEBOLAG), 2 April 1998 (02.04.98)	2
X	example 7,11,34,49,67,72,84 and 89 -- -----	6

☐ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

13 July 1999

Date of mailing of the international search report

17 -07- 1999

Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORTInternational application No.
PCT/SE99/00276**Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)**

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: **12**
because they relate to subject matter not required to be searched by this Authority, namely:
A method for treatment of the human or animal body by therapy,
see rule 39.1.
2. ☒ Claims Nos.: **1, 3-5, 7-11**
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

Please see extra sheet**Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

Please see extra sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE99/00276

The wording "optionally substituted and/or annulated" in claims 1, 3 and 5 is not clear and concise, cf. Article 6. These claims have therefore not been searched.

No common distinctive feature such as a common structure is given for the compounds according to claims 4 and 7. Due to the lack of such a feature, these claims state a separate invention for each compound given, cf. "requirements of unity of invention". No invitation to pay additional fees has been made as that would have meant more than 150 fees. Thus, claims 4, 7 and 8-11 have not been searched.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE99/00276

The subjects, defined by the problems and their means of solution, as listed below are so different from each other that no technical relationship or interaction can be appreciated to be present so as to form a single general inventive concept.

Invention 1. Claim 2 (compound II)

Invention 2. Claim 6 (compound IV)

According to PCT Rule 13.2, the requirement of unity of invention is fulfilled only when there is a technical relationship among the claimed inventions involving one or more of the same or corresponding special technical features. The special technical feature shall also define a contribution which the claimed invention considered as a whole, makes over the prior art.

The special technical feature of each invention is a compound of formula II or IV respectively. No significant structural element over the prior art is shared by compound II and compound IV.

MAR 18 2005 INTERNATIONAL SEARCH REPORT
Information on patent family members

Information on patent family members

PCT/SE 99/00276

01/06/99

CAROL G. EBBIN

Form PCT/ISA/210 (patent family annex) (July 1992)